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BENZ- AND HETARENE-ANNULATED AZEPINES FROM DONOR-ACCEPTOR CYCLOPROPANES

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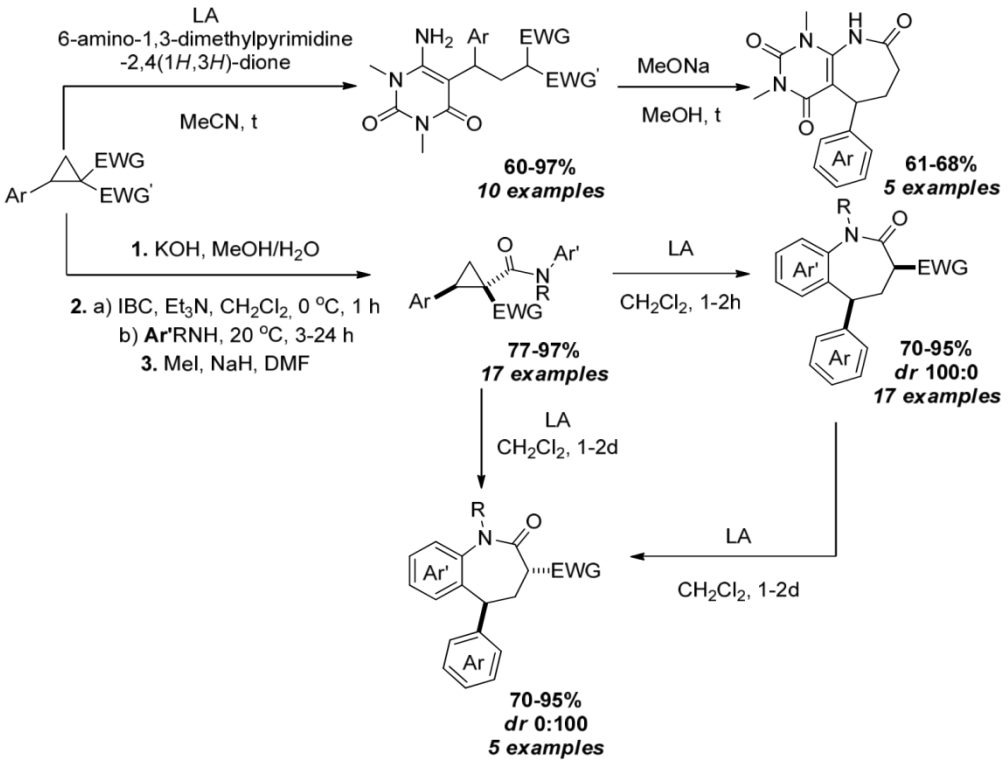
Abstract. Donor-acceptor cyclopropanes are now considered as excellent building blocks for the synthesis of diverse carbo- and heterocycles including complex polycyclic structure. Herein, we report new methods for the synthesis of benz- and hetarene-annulated azepines based on two different approaches. The first one is based on the alkylation of 6-aminouracil and related substrates in *ortho*-position to the nucleophilic amino group followed by based-induced cyclization *via* attack of the amino group on the ester or keto group which was presented in the starting cyclopropane. The second one is based on the synthesis of *N*-aryl-1-methoxycarbonylcyclopropanecarboxamide bearing at the C(2) atom of the threemembered ring a donor substituent. Under the treatment with Lewis acid, these substrates undergo cyclization to 5-arylbenz[*b*]azepin-2-ones. The process diastereoselectivity was found to be efficiently controlled by the reaction time. Under short duration (1–2 h), *cis*-products were formed; the increase of the reaction time to 1 d led to the exclusive formation of *trans*-isomers.



Other transformations of donor-acceptor cyclopropanes to diverse heterocycles will be also discussed.

This work was supported by Russian Science Foundation (grant № 18-13-00449)